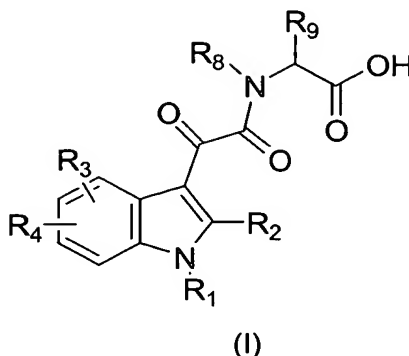


WHAT IS CLAIMED:

1. A compound of formula I:



wherein:

R_1 is C_1 - C_8 alkyl, C_3 - C_6 cycloalkyl, $-CH_2$ - C_3 - C_6 cycloalkyl, pyridinyl, $-CH_2$ -pyridinyl, phenyl or benzyl, the rings of the cycloalkyl, pyridinyl, phenyl and benzyl groups may be optionally substituted by from 1 to 3 groups selected from the group chemistry of halogen, C_1 - C_6 alkyl, C_1 - C_3 perfluoroalkyl, $-O$ - C_1 - C_3 perfluoroalkyl, C_1 - C_3 alkoxy, $-OH$, $-NH_2$, and $-NO_2$;

R_2 is hydrogen, C_1 - C_6 alkyl, C_3 - C_6 cycloalkyl, $-CH_2$ - C_3 - C_6 cycloalkyl, or C_1 - C_3 perfluoroalkyl;

R_3 is hydrogen, halogen, C_1 - C_6 alkyl, C_1 - C_3 perfluoroalkyl, C_1 - C_6 alkoxy, C_3 - C_6 cycloalkyl, $-CH_2$ - C_3 - C_6 cycloalkyl, $-NH_2$, or $-NO_2$;

R_4 is phenyl, benzyl, benzyloxy, pyridinyl, or $-CH_2$ -pyridinyl, wherein the rings of these groups may be optionally substituted by 1 to 3 groups selected from the group chemistry of halogen, C_1 - C_3 alkyl, C_1 - C_3 perfluoroalkyl, $-O$ - C_1 - C_3 perfluoroalkyl, C_1 - C_3 alkoxy, $-OH$, $-NH_2$, and $-NO_2$;

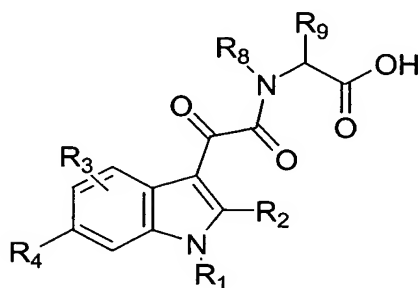
R_8 is hydrogen, C_1 - C_6 alkyl, C_3 - C_6 cycloalkyl, $-CH_2$ - C_3 - C_6 cycloalkyl, or C_1 - C_3 perfluoroalkyl, aryl, substituted aryl, alkyl-aryl, or substituted alkyl-aryl; and

R_9 is hydrogen, C_1 - C_6 alkyl, C_3 - C_6 branched alkyl, C_1 - C_6 hydroxyalkyl, 4-

hydroxybenzyl, 3-indolylmethylene, 4-imidazolylmethylene, HSCH_2^- , $\text{CH}_3\text{SCH}_2\text{CH}_2^-$, $\text{H}_2\text{NC(=O)CH}_2^-$, $\text{H}_2\text{NC(=O)CH}_2\text{CH}_2^-$, $\text{HO}_2\text{CCH}_2^-$, $\text{HO}_2\text{CCH}_2\text{CH}_2^-$, $\text{H}_2\text{NCH}_2\text{CH}_2\text{CH}_2\text{CH}_2^-$, $\text{H}_2\text{NC(=NH)NHCH}_2\text{CH}_2\text{CH}_2^-$, or taken together with R_8 , $-\text{CH}_2\text{CH}_2\text{CH}_2^-$;

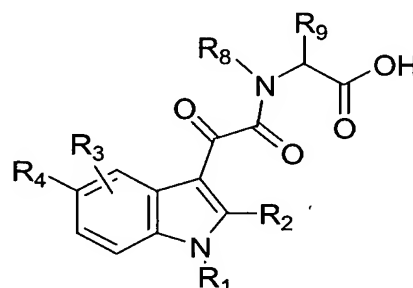
5 or a pharmaceutically acceptable salt or ester form thereof.

2. A compound of Claim 1 having the formulas:



(II)

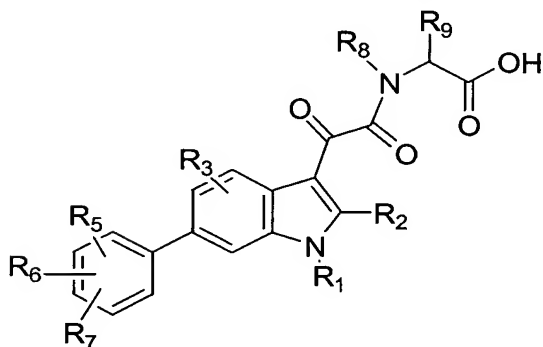
or



(III)

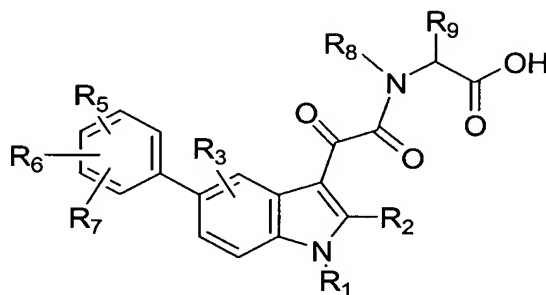
10 wherein R_1 , R_2 , R_3 , R_4 , R_8 and R_9 are as defined in Claim 1, or a pharmaceutically acceptable salt or ester form thereof.

3. A compound of Claim 1 having the formulas:



(IV)

or



(V)

wherein:

R_1 is C_1 - C_8 alkyl, C_3 - C_6 cycloalkyl, $-\text{CH}_2$ - C_3 - C_6 cycloalkyl, or benzyl, wherein the rings of the cycloalkyl and benzyl groups may be optionally substituted by from 1 to 3 groups selected from halogen, C_1 - C_3 alkyl, C_1 - C_3 perfluoroalkyl, $-\text{O}-\text{C}_1$ - C_3 perfluoroalkyl, preferably $-\text{O}-\text{CF}_3$, C_1 - C_3 alkoxy, $-\text{OH}$, $-\text{NH}_2$, or $-\text{NO}_2$;

R_2 is hydrogen, C_1 - C_6 alkyl, C_3 - C_6 cycloalkyl, $-CH_2$ - C_3 - C_6 cycloalkyl, or C_1 - C_3 perfluoroalkyl;

- 5 R_3 is hydrogen, halogen, C_1 - C_6 alkyl, C_1 - C_3 perfluoroalkyl, C_1 - C_6 alkoxy, C_3 - C_6 cycloalkyl, $-CH_2$ - C_3 - C_6 cycloalkyl, $-NH_2$, or $-NO_2$;

R_5 , R_6 and R_7 are each independently hydrogen, halogen, C_1 - C_3 alkyl, C_1 - C_3 perfluoroalkyl, $-O$ - C_1 - C_3 perfluoroalkyl, C_1 - C_3 alkoxy, $-OH$, $-NH_2$, or $-NO_2$;

10

R_8 is hydrogen, C_1 - C_6 alkyl, C_3 - C_6 cycloalkyl, $-CH_2$ - C_3 - C_6 cycloalkyl, or C_1 - C_3 perfluoroalkyl, aryl, substituted aryl, alkyl-aryl, or substituted alkyl-aryl;

- R_9 is hydrogen, C_1 - C_6 alkyl, C_3 - C_6 branched alkyl, C_1 - C_6 hydroxyalkyl, 4-
 15 hydroxybenzyl, 3-indolylmethylene, 4-imidazolylmethylene, $HSCH_2$ -, $CH_3SCH_2CH_2$ -,
 $H_2NC(=O)CH_2$ -, $H_2NC(=O)CH_2CH_2$ -, HO_2CCH_2 -, $HO_2CCH_2CH_2$ -,
 $H_2NCH_2CH_2CH_2CH_2$ -, $H_2NC(=NH)NHCH_2CH_2CH_2$ -, or taken together with R_8 ,
 $-CH_2CH_2CH_2$ -;

- 20 or a pharmaceutically acceptable salt or ester form thereof.

4. The compound of Claim 1 which is {[[1-(4-*tert*-butylbenzyl)-5-(3-methylphenyl)-1*H*-indol-3-yl](oxo)acetyl]amino}acetic acid, or a pharmaceutically acceptable salt or ester form thereof.

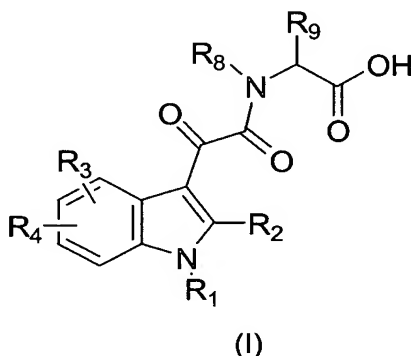
25

5. The compound of Claim 1 which is 2-[(2-{1-Benzyl-5-[4-(trifluoromethoxy)phenyl]-1*H*-indol-3-yl}-2-oxoacetyl)amino]acetic acid, or a pharmaceutically acceptable salt or ester form thereof.

- 30 6. The compound of Claim 1 which is 2-[(2-{1-Benzyl-5-[3-(trifluoromethoxy)phenyl]-1*H*-indol-3-yl}-2-oxoacetyl)(methyl)amino]acetic acid, or a pharmaceutically acceptable salt or ester form thereof.

7. A method of inhibiting plasminogen activator inhibitor-1 in a mammal comprising administering to a mammal in need thereof a pharmaceutically effective amount of compound of formula:

5



wherein:

10 R_1 is C_1 - C_8 alkyl, C_3 - C_6 cycloalkyl, $-CH_2$ - C_3 - C_6 cycloalkyl, pyridinyl, $-CH_2$ -pyridinyl, phenyl or benzyl, the rings of the cycloalkyl, pyridinyl, phenyl and benzyl groups may be optionally substituted by from 1 to 3 groups selected from the group chemistry of halogen, C_1 - C_6 alkyl, C_1 - C_3 perfluoroalkyl, $-O$ - C_1 - C_3 perfluoroalkyl, C_1 - C_3 alkoxy, $-OH$, $-NH_2$, and $-NO_2$;

15 R_2 is hydrogen, C_1 - C_6 alkyl, C_3 - C_6 cycloalkyl, $-CH_2$ - C_3 - C_6 cycloalkyl, or C_1 - C_3 perfluoroalkyl;

20 R_3 is hydrogen, halogen, C_1 - C_6 alkyl, C_1 - C_3 perfluoroalkyl, C_1 - C_6 alkoxy, C_3 - C_6 cycloalkyl, $-CH_2$ - C_3 - C_6 cycloalkyl, $-NH_2$, or $-NO_2$;

25 R_4 is phenyl, benzyl, benzyloxy, pyridinyl, or $-CH_2$ -pyridinyl, wherein the rings of these groups may be optionally substituted by 1 to 3 groups selected from the group chemistry of halogen, C_1 - C_3 alkyl, C_1 - C_3 perfluoroalkyl, $-O$ - C_1 - C_3 perfluoroalkyl, C_1 - C_3 alkoxy, $-OH$, $-NH_2$, and $-NO_2$;

R_8 is hydrogen, C_1 - C_6 alkyl, C_3 - C_6 cycloalkyl, $-CH_2$ - C_3 - C_6 cycloalkyl, or C_1 - C_3 perfluoroalkyl, aryl, substituted aryl, alkyl-aryl, or substituted alkyl-aryl; and

R_9 is hydrogen, C_1 - C_6 alkyl, C_3 - C_6 branched alkyl, C_1 - C_6 hydroxyalkyl, 4-hydroxybenzyl, 3-indolylmethylene, 4-imidazolylmethylene, $HSCH_2-$, $CH_3SCH_2CH_2-$, $H_2NC(=O)CH_2-$, $H_2NC(=O)CH_2CH_2-$, HO_2CCH_2- , $HO_2CCH_2CH_2-$,
 5 $H_2NCH_2CH_2CH_2CH_2-$, $H_2NC(=NH)NHCH_2CH_2CH_2-$, or taken together with R_8 , $-CH_2CH_2CH_2-$;

or a pharmaceutically acceptable salt or ester form thereof.

10 8. A pharmaceutical composition comprising pharmaceutically effective amount of a compound of Claim 1, or a pharmaceutically acceptable salt or ester form thereof, and a pharmaceutically acceptable excipient or carrier.

15 9. A method for treatment of thrombosis or fibrinolytic impairment in a mammal, the method comprising administering to a mammal in need thereof a pharmaceutically effective amount of a compound of Claim 1.

20 10. A method of Claim 9 wherein the thrombosis or fibrinolytic impairment is associated with formation of atherosclerotic plaques, venous and arterial thrombosis, myocardial ischemia, atrial fibrillation, deep vein thrombosis, coagulation syndromes, pulmonary fibrosis, cerebral thrombosis, thromboembolic complications of surgery or peripheral arterial occlusion.

25 11. A method for the treatment of peripheral arterial disease in a mammal, comprising administering to a mammal in need thereof a pharmaceutically effective amount of a compound of Claim 1.

30 12. A method for the treatment of stroke associated with or resulting from atrial fibrillation in a mammal, comprising administering to a mammal in need thereof a pharmaceutically effective amount of a compound of Claim 1.

 13. A method for the treatment of deep vein thrombosis in a mammal, comprising administering to a mammal in need thereof a pharmaceutically effective

amount of a compound of Claim 1.

14. A method for the treatment of myocardial ischemia in a mammal, comprising administering to a mammal in need thereof a pharmaceutically effective amount of a compound of Claim 1.

15. A method for treatment of cardiovascular disease caused by noninsulin dependent diabetes mellitus in a mammal, comprising administering to a mammal in need thereof a pharmaceutically effective amount of a compound of Claim 1.

16. A method for the treatment of the formation of atherosclerotic plaques in a mammal, comprising administering to a mammal in need thereof a pharmaceutically effective amount of a compound of Claim 1.

17. A method for the treatment of chronic obstructive pulmonary disease in a mammal, comprising administering to a mammal in need thereof a pharmaceutically effective amount of a compound of Claim 1.

18. A method for the treatment of renal fibrosis in a mammal, comprising administering to a mammal in need thereof a pharmaceutically effective amount of a compound of Claim 1.

19. A method for the treatment of polycystic ovary syndrome in a mammal, comprising administering to a mammal in need thereof a pharmaceutically effective amount of a compound of Claim 1.

20. A method for the treatment of Alzheimer's disease in a mammal, comprising administering to a mammal in need thereof a pharmaceutically effective amount of a compound of Claim 1.

21. A method for the treatment of cancer in a mammal, comprising administering to a mammal in need thereof a pharmaceutically effective amount of a

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compound of Claim 1.